Claims

1. A process for the production of an ¹⁸F-labelled tracer which comprises treatment of a solid support-bound precursor of formula (I):

Υ

wherein the TRACER is of formula (A):

$$- \bigvee_{R^{1}-N} = 0 \qquad (A)$$

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or an amine protected derivative thereof, wherein Y is an anion, preferably trifluoromethylsulphonate (triflate) anion; and R¹ is either (i) a group CH–NP^{1A}P^{2A} in which P^{1A} and P^{2A} are each independently hydrogen or a protecting group, or (ii) a carbonyl group;

with ¹⁸F⁻ to produce the labelled tracer of formula (II)

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or an amine protected derivative thereof, wherein R^1 is as defined for the compound of formula (I);

optionally followed by:

- (i) removal of excess ¹⁸F , for example by ion-exchange chromatography; and/or
- 25 (ii) removal of any protecting groups; and/or
 - (iii) removal of organic solvent; and/or
 - (iv) formulation of the resultant compound of formula (II) as an aqueous solution.

2. A process according to claim 1 for the production of 5[¹⁸F]fluorouracil which comprises treatment of a solid support-bound precursor of formula (Ia):

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or an amine protected derivative thereof, wherein Y is an anion, preferably trifluoromethylsulphonate (triflate) anion;

with ¹⁸F⁻ to produce the labelled tracer of formula (IIa)

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or an amine protected derivative thereof, optionally followed by:

- (i) removal of excess ¹⁸F-, for example by ion-exchange chromatography; and/or
- 15 (ii) removal of organic solvent; and/or
 - (iii) removal of any protecting groups; and/or
 - (iv) formulation of the resultant compound of formula (IIa) as an aqueous solution.
- 3. A process according to claim 1 for the production of 5[¹⁸F]fluorocytosine which comprises treatment of a solid support-bound precursor of formula (lb):

SOLID SUPPORT-LINKER-I*
$$\begin{array}{c} Y^{-} \\ \\ HC-N \\ \\ P^{2A}P^{1A}N \end{array}$$
(ib)

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or an amine protected derivative thereof, wherein Y^- is an anion, preferably trifluoromethylsulphonate (triflate) anion, P^{1A} and P^{2A} are independently hydrogen or a protecting group;

with ¹⁸F⁻ to produce the labelled tracer of formula (lib)

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$$\begin{array}{c}
 & HC - N \\
 & HC - N$$

or an amine protected derivative thereof, wherein P^{1A} and P^{2A} are as defined for the compound of formula (lb), optionally followed by:

- (i) removal of excess ¹⁸F⁻, for example by ion-exchange chromatography; and/or
 - (ii) removal of organic solvent; and/or
 - (iii) removal of any protecting groups; and/or
 - (iv) formulation of the resultant compound of formula (IIb) as an aqueous solution.
- 4. A process for the production of an ¹⁸F-labelled tracer which comprises treatment of a compound of formula (III), (IIIa), or (IIIb):

$$\begin{array}{c|c}
B & I^{+} & O \\
\hline
 & C - N \\
\hline
 & O \\$$

$$\begin{array}{c|c}
 & Y \\
 & \downarrow \\$$

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or an amine protected derivative thereof, wherein R1, P2A, P1A, and Y are as

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defined in claim 1, and phenyl ring B is optionally substituted with one to five substituents independently selected from halo, C_{1-6} alkyl, C_{1-6} haloalkyl, hydroxy, C_{1-6} alkoxy, amino, C_{1-6} hydroxyalkyl, and nitro;

with ¹⁸F⁻ to produce the labelled tracer of formula (II), (IIa), or (IIb) respectively as defined in claims 1 to 3 or an amine protected derivative thereof, optionally followed by:

- (i) removal of excess ¹⁸F⁻, for example by ion-exchange chromatography; and/or
- (ii) removal of any protecting groups; and/or
- 10 (iii) removal of organic solvent; and/or
 - (iv) formulation of the resultant compound of formula (II), (IIa), or (IIb) as an aqueous solution.
- 5. A process for the production of a ¹⁸F-labelled tracer of formula (II), (IIa), or (IIb) according to any one of claims 1 to 4, for use in PET.
 - 6. A compound of formula (I):

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wherein the TRACER is of formula (A):

$$- \bigvee_{R^1 - N} O \qquad (A)$$

- or an amine protected derivative thereof, wherein Y is an anion, preferably trifluoromethylsulphonate (triflate) anion; and R¹ is either (i) a group CH–NP^{1A}P^{2A} in which P^{1A} and P^{2A} are each independently hydrogen or a protecting group, or (ii) a carbonyl group.
- 30 7. A compound of formula (la):

or an amine protected derivative thereof, wherein Y is an anion, preferably trifluoromethylsulphonate (triflate) anion.

8. A compound of formula (lb):

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or an amine protected derivative thereof, wherein Y is an anion, preferably trifluoromethylsulphonate (triflate) anion, P^{1A} and P^{2A} are independently hydrogen or a protecting group.

- 9. A radiopharmaceutical kit for the preparation of an ¹⁸F-labelled tracer for use in PET, which comprises:
- (i) a vessel containing a compound of formula (I), (Ia), or (Ib) as defined in any one of claims 1 to 3 or a compound of formula (III), (IIIa), or (IIIb) as defined in claim 4 or an amine protected derivative thereof; and
 - (ii) means for eluting the vessel with a source of ¹⁸F⁻;
 - (iii) an ion-exchange cartridge for removal of excess ¹⁸F⁻; and optionally
- (iv) a cartridge for solid-phase deprotection of the resultant product of formula (II), (IIa), or (IIb), as defined in any one of claims 1 to 3.
 - 10. A cartridge for a radiopharmaceutical kit for the preparation of an ¹⁸F-labelled tracer for use in PET which comprises:
- 25 (i) a vessel containing a compound of formula (I), (Ia), or (Ib) as defined in any one of claims 1 to 3 or a compound of formula (III), (IIIa), or (IIIb) as defined in

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claim 4 or an amine protected derivative thereof; and (ii) means for eluting the vessel with a source of $^{18}{\rm F}^{-}$.

11. A method for obtaining a diagnostic PET image which comprises the step of using a radiopharmaceutical kit according to claim 9 or a cartridge for a radiopharmaceutical kit according to claim 10.